Figure 1 Oligodeoxynucleotides Phosphorothioate and Site of Modification

Oligo No.	Sequence & Modification	
8	5'-CCTACTAG <u>CG</u> TTCTCATC-3' (133-1)	
9	5'-CCTACTAGCXTTCTCATC-3' (139-2)	
10	5'-CCTACTAXCGTTCTCATC-3' (133-2)	~0
11	5'-CCTACTXGCGTTCTCATC-3' (139-3)	Ĭ
12	5'-CCTACXAGCGTTCTCATC-3' (133-3)	3
13	5'-CCTAXTAGCGTTCTCATC-3' (139-4)	$X = {}^{3}$
14	5'-CCTXCTAGCGTTCTCATC-3' (133-4)	0.5P
15	5'-CCTXCTAG <u>CC</u> TTCTCATC-3' (145-10a)	99 TO
16	5'-CCTACTAGCGXTCTCATC-3' (133-5)	
17	5'-CCTACTAGCGTXCTCATC-3' (139-7)	1',2'-Dideoxyribose
18	5'-CCTACTAGCGTTXTCATC-3' (133-6)	
19	5'-CCTACTAGCGTTCXCATC-3' (139-8)	
20	5'-CCTXXTAGCGTTCTCATC-3' (133-12)	
21	5'-XXTACTAGCGTTCTCATC-3' (139-6)	
22	5'-CCTACTAGCGTTCXXATC-3' (139-9)	
23	5'-CCTXCTXGCGTTCTCATC-3' (145-10b)	

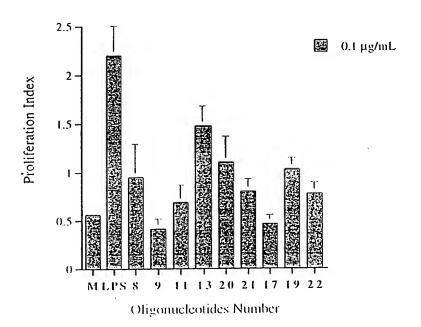


Figure 2 Oligodeoxynucleotides Phosphorothioate and Site of Modification

Oligo No.	Sequence & Modification	
8	5'-CCTACTAG <u>CG</u> TTCTCATC-3' (133-1)	
9	5'-CCTACTAGCXTTCTCATC-3' (139-2)	
10	5'-CCTACTAXCGTTCTCATC-3' (133-2)	~0
11	5'-CCTACTXGCGTTCTCATC-3' (139-3)	ζο.
12	5'-CCTACXAGCGTTCTCATC-3' (133-3)	\ \ \ 3'\
13	5'-CCTAXTAGCGTTCTCATC-3' (139-4)	$X = {}^{3}P$
14	5'-CCTXCTAGCGTTCTCATC-3' (133-4)	0=P-0
15	5'-CCTXCTAG <u>CC</u> TTCTCATC-3' (145-10a)	SO 1
16	5'-CCTACTAGCGXTCTCATC-3' (133-5)	
17	5'-CCTACTAGCGTXCTCATC-3' (139-7)	1',2'-Dideoxyribose
18	5'-CCTACTAGCGTTXTCATC-3' (133-6)	
19	5'-CCTACTAGCGTTCXCATC-3' (139-8)	
20	5'-CCTXXTAGCGTTCTCATC-3' (133-12)	
21	5'-XXTACTAGCGTTCTCATC-3' (139-6)	
22	5'-CCTACTAGCGTTCXXATC-3' (139-9)	
23	5'-CCTXCTXGCGTTCTCATC-3' (145-10b)	

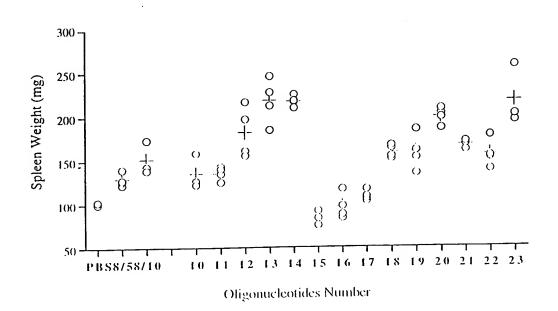


Figure 3 Oligodeoxynucleotides Phosphorothioate and Site of Modification

(Oligo No.	Sequence & Modification	
	1	5'-CTATCTGA <u>CG</u> TTCTCTGT-3' (131-1)	
	2	5'-CTATCTGAXGTTCTCTGT-3' (131-13)	~0
	3	5'-CTATCTGXCGTTCTCTGT-3' (131-2)	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\
	4	5'-CTATCXGACGTTCTCTGT-3' (131-3)	X = 3
	5	5'-CTAXCTGACGTTCTCTGT-3' (131-4)	O
	6	5'-CTATCTGACGXTCTCTGT-3' (131-5)	0=P-0
	7	5'-CTATCTGACGTTXTCTGT-3' (131-6)	S [©] 1
			1',2'-Dideoxyribose

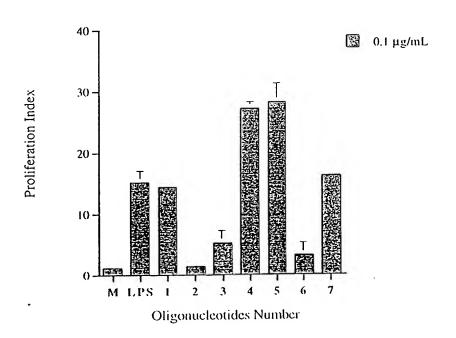


Figure 4 Oligodeoxynucleotides Phosphorothioate and Site of Modification

Oligo N	lo. Sequence & Modification	
1	5'-CTATCTGA <u>CG</u> TTCTCTGT-3' (131-1)	
2	5'-CTATCTGAXGTTCTCTGT-3' (131-13)	~0
3	5'-CTATCTGXCGTTCTCTGT-3' (131-2)	γ^{0}
4	5'-CTATCXGACGTTCTCTGT-3' (131-3)	X = 3
5	5'-CTAXCTGACGTTCTCTGT-3' (131-4)	0
6	5'-CTATCTGACGXTCTCTGT-3' (131-5)	0 0
7	5'-CTATCTGACGTTXTCTGT-3' (131-6)	S [⊖] {
		1',2'-Dideoxyribose

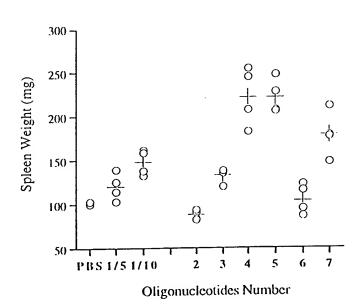


Figure 5 Oligodeoxynucleotide Phosphorothioates and Modification of Linkages

Oligo No.	Sequence & Modification	
133-1	5'-CCTACTAGCGTTCTCATC-3'	
141-2	5'-CCTACTAGCXTTCTCATC-3'	
141-3	5'-CCTACTXGCGTTCTCATC-3'	7.0
141-4	5'-CCTAXTAGCGTTCTCATC-3'	
141-5	5'-CCTXXTAGCGTTCTCATC-3'	X =
141-6	5'-XXTACTAGCGTTCTCATC-3'	\ ^ = \
141-7	5'-CCTACTAGCGTXCTCATC-3'	, o
141-8	5'-CCTACTAGCGTTCXCATC-3'	0=P-0
141-9	5'-CCTACTAGCGTTCXXATC-3'	s ^{í⊖} ĭ
		C3-Linker
131-1	5'-CTATCTGACGTTCTCTGT-3'	
137-2	5'-CTATCTGXCGTTCTCTGT-3'	
137-3	5'-CTATCXGACGTTCTCTGT-3'	
137-4	5'-CTAXCTGACGTTCTCTGT-3'	

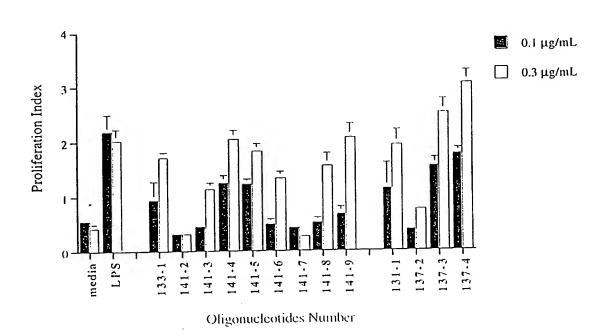


Figure 6 Oligodeoxynucleotide Phosphorothioates and Modification of Linkages

Oligo No.	Sequence & Modification	
100.1	5'-CCTACTAGCGTTCTCATC-3'	
133-1	5'-CCTACTAGCXTTCTCATC-3'	
141-2		~~
141-3	5'-CCTACTXGCGTTCTCATC-3'	Ι P
141-4	5'-CCTAXTAGCGTTCTCATC-3'	
141-5	5'-CCTXXTAGCGTTCTCATC-3'	X =
141-6	5'-XXTACTAGCGTTCTCATC-3'	^ -
141-7	5'-CCTACTAGCGTXCTCATC-3'	Ŏ
141-8	5'-CCTACTAGCGTTCXCATC-3'	0 ^P 0
141-9	5'-CCTACTAGCGTTCXXATC-3'	s [⊙] i
		C3-Linker
131-1	5'-CTATCTGACGTTCTCTGT-3'	
137-2	5'-CTATCTGXCGTTCTCTGT-3'	
137-3	5'-CTATCXGACGTTCTCTGT-3'	ميعسيد.
137-4	5'-CTAXCTGACGTTCTCTGT-3'	

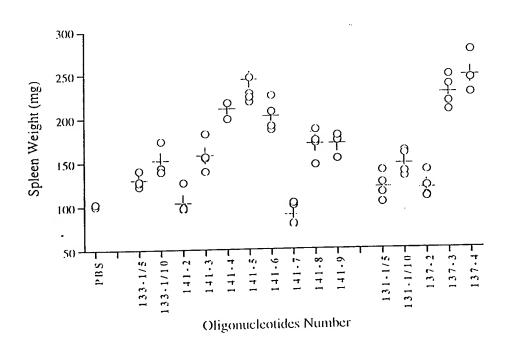


Figure 7 Oligodeoxynucleotides Phosphorothioate and Site of Modification

C	Nigo No.	Sequence & Modification
	1	5'-CTATCTGA <u>CG</u> TTCTCTGT-3' (131-1)
	-	
	2	5'-CTAXCTGACGTTCTCTGT-3' (153-1)
	3	5'-CTATCTGACGTTCXCTGT-3' (153-2)
	4	5'-CTAYCTGACGTTCTCTGT-3' (153-3)
	5	5'-CTATCTGACGTTCYCTGT-3' (153-4)
	6 7	5'-CCTACTAGCGTTCTCATC-3' (133-1) 5'-CCTXCTAGCGTTCTCATC-3' (155-1)
	8	5'-CCTACTAGCGTTCXCATC-3' (155-2)
	9	5'-CCTYCTAGCGTTCTCATC-3' (155-3)
	10	5'-CCTACTAGCGTTCYCATC-3' (155-4)
	X = O Spacer9 Y = O Spacer18	

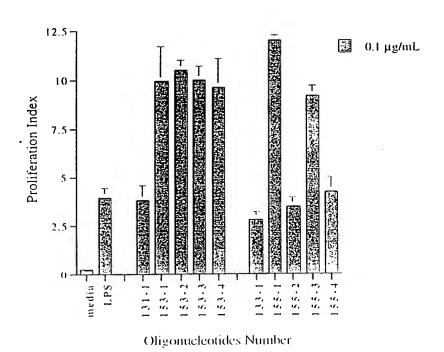


Figure 8 Oligodeoxynucleotides Phosphorothioate and Site of Modification

 Dligo No.	Sequence & Modification
1	5'-CTATCTGA <u>CG</u> TTCTCTGT-3' (131-1)
2	5'-CTAXCTGACGTTCTCTGT-3' (153-1)
3	5'-CTATCTGACGTTCXCTGT-3' (153-2)
4	5'-CTAYCTGACGTTCTCTGT-3' (153-3)
5	5'-CTATCTGACGTTCYCTGT-3' (153-4)
6 7 8 9 10	5'-CCTACTAGCGTTCTCATC-3' (133-1) 5'-CCTXCTAGCGTTCTCATC-3' (155-1) 5'-CCTACTAGCGTTCXCATC-3' (155-2) 5'-CCTYCTAGCGTTCTCATC-3' (155-3) 5'-CCTACTAGCGTTCYCATC-3' (155-4)
X = \	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\
Spacer9	0=P-0 SO 1
Y = , O Spacer18	✓, O,

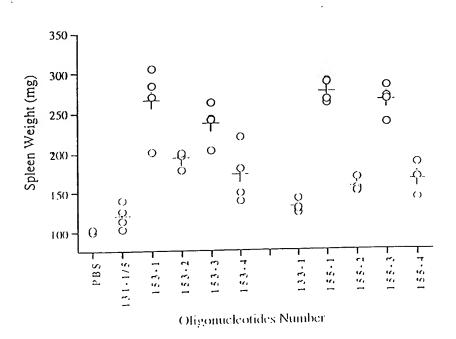


Figure 9 Oligodeoxynucleotides Phosphorothioate and Site of Modification

Oligo No.	Sequence & Modification	
1	5'-CTATCTGACGTTCTCTGT-3' (131-1)	
2	5'-CTATCTGXCGTTCTCTGT-3' (157-1)	
3	5'-CTATCTXACGTTCTCTGT-3' (157-2)	
4	5'-CTAXCTGACGTTCTCTGT-3' (157-3)	
5	5'-CTATCTGACGTXCTCTGT-3' (157-4)	
6	5'-CTATCTGACGTTCXCTGT-3' (157-5)	
	3	
	1	

$$X = \begin{pmatrix} & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & \\ & & \\$$

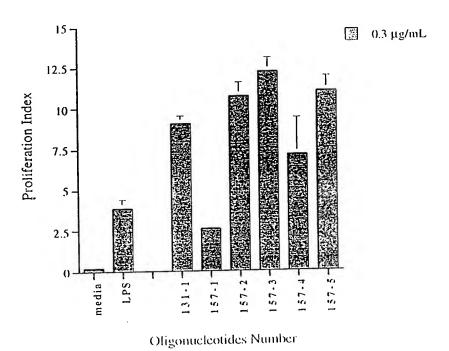


Figure 10 Oligodeoxynucleotides Phosphorothioate and Site of Modification

Olig	o No.	Sequence & Modification	
1		5'-CTATCTGACGTTCTCTGT-3' (131-1)	
2	2 (5'-CTATCTGXCGTTCTCTGT-3' (157-1)	
3		5'-CTATCTXACGTTCTCTGT-3' (157-2)	
4		5'-CTAXCTGACGTTCTCTGT-3' (157-3)	
5	; 5	5'-CTATCTGACGTXCTCTGT-3' (157-4)	
€	;	5'-CTATCTGACGTTCXCTGT-3' (157-5)	

$$X = \begin{pmatrix} & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\$$

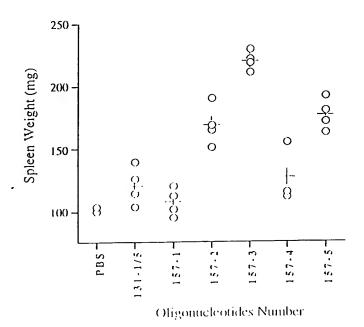


Figure 11 Oligodeoxynucleotide Phosphorothioates and Modification of Linkages

	Sequence & Modification	Oligo No.
	5'-CTATCTGACGTTCTCTGT-3'	131-1
~0	5'-CTATCTGACXTTCTCTGT-3'	159-1
X = 5'	5'-CTATCTGAXGTTCTCTGT-3'	159-2
(2	5'-CTATXTGACGTTCTCTGT-3'	159-3
0=P-0	5'-CTATCTGACGTTCTXTGT-3'	159-4
$S^{(j)}$ (5^{i}) O B	5'-CCTACTAGCGTTCTCATC-3'	133-1
3') O	5'-CCTACTAGCXTTCTCATC-3'	161-1
3'-Deoxynucleoside	5'-CCTACTAGXGTTCTCATC-3'	161-2
معموت	5'-CCTACTAXCGTTCTCATC-3'	161-3
	5'-CCTAXTAGCGTTCTCATC-3'	161-4
	5'-CCTACTAGCGTTCTXATC-3'	161-5

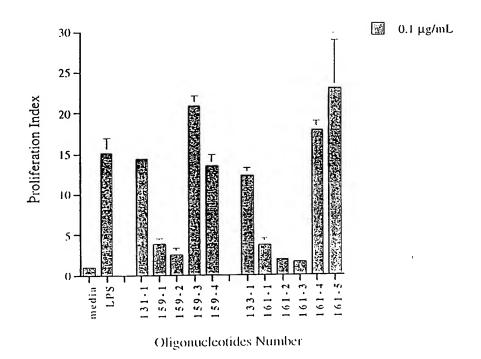


Figure 12 Oligodeoxynucleotide Phosphorothioates and Modification of Linkages

Oligo No.	Sequence & Modification	
131-1	5'-CTATCTGACGTTCTCTGT-3'	~0
159-1 159-2	5'-CTATCTGACXTTCTCTGT-3' 5'-CTATCTGAXGTTCTCTGT-3'	$X = 5'$ O_2'
159-3	5'-CTATXTGACGTTCTCTGT-3'	72
159-4	5'-CTATCTGACGTTCTXTGT-3'	0 ^{°,P} 0 S ^O 15',0, 6
133-1	5'-CCTACTAGCGTTCTCATC-3'	3.)
161-1	5'-CCTACTAGCXTTCTCATC-3'	Ón
161-2	5'-CCTACTAGXGTTCTCATC-3'	3'-Deoxynucleoside
161-3	5'-CCTACTAXCGTTCTCATC-3'	
161-4	5'-CCTAXTAGCGTTCTCATC-3'	
161-5	5'-CCTACTAGCGTTCTXATC-3'	
		

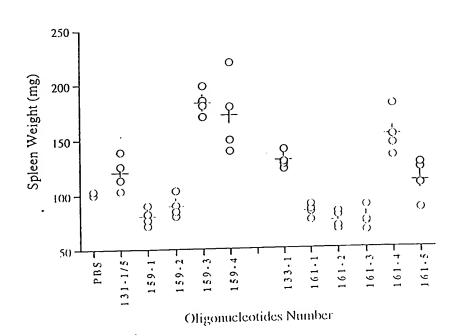


Figure 13 Oligodeoxynucleotide Phosphorothioates and Modification of Linkages

Oligo No.	Sequence & Modification	
Oligo No. 131-1 167-1 167-2 167-3 167-4 167-5 167-6 167-7 167-8 167-9 167-10 16711	5'-CTATCTGACGTTCTCTGT-3' 5'-CTATCTGXCGTTCTCTGT-3' 5'-CTATCTXACGTTCTCTGT-3' 5'-CTATCXGACGTTCTCTGT-3' 5'-CTATXTGACGTTCTCTGT-3' 5'-CTAXCTGACGTTCTCTGT-3' 5'-CTATCTGACGTTCTCTGT-3' 5'-CTATCTGACGXTCTCTGT-3' 5'-CTATCTGACGTXCTCTGT-3' 5'-CTATCTGACGTTCXCTGT-3' 5'-CTATCTGACGTTCXCTGT-3' 5'-CTATCTGACGTTCXCTGT-3' 5'-CTATCTGACGTTCXXGT-3'	X = 5' O B O P O P H ₃ C Methyl-phosphonate

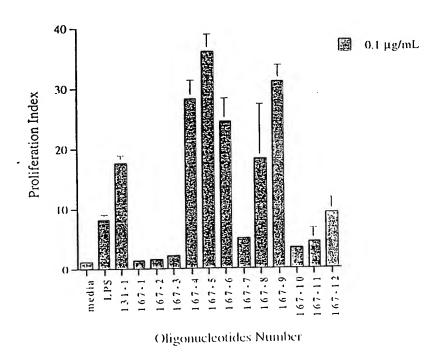


Figure 14 Oligodeoxynucleotide Phosphorothioates and Modification of Linkages

Oligo No.	Sequence & Modification	
131-1 167-1 167-2 167-3 167-4 167-5 167-6	5'-CTATCTGACGTTCTCTGT-3' 5'-CTATCTGXCGTTCTCTGT-3' 5'-CTATCXGACGTTCTCTGT-3' 5'-CTATXTGACGTTCTCTGT-3' 5'-CTAXCTGACGTTCTCTGT-3' 5'-CTXXCTGACGTTCTCTGT-3'	X = 5 0 0 0 0 0 0 0 0 0 0
167-7 167-8 167-9 167-10 16711 167-12	5'-CTATCTGACGXTCTCTGT-3' 5'-CTATCTGACGTXCTCTGT-3' 5'-CTATCTGACGTTXTCTGT-3' 5'-CTATCTGACGTTCXCTGT-3' 5'-CTATCTGACGTTCTXTGT-3' 5'-CTATCTGACGTTCTXXGT-3'	ميعمين

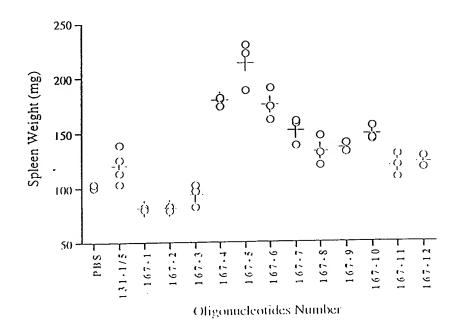


Figure 15 Oligodeoxynucleotide Phosphorothioates and Modification of Linkages

Oligo No.	Sequence & Modification	
113-1	5'-TCCATGACGTTCCTGATGC-3'	~0
23-1	5'-TCCATGXCGTTCCTGATGC-3'	5' O B
23-3	5'-TCCAXGACGTTCCTGATGC-3'	OR
29-2	5'-TYYATGACGGTCCTGATGC-3'	0=P-0 S +

 $R_X = 2$ '-O-Methylribonucleoside Ry = 2'-O-Methoxyethylribonucleoside

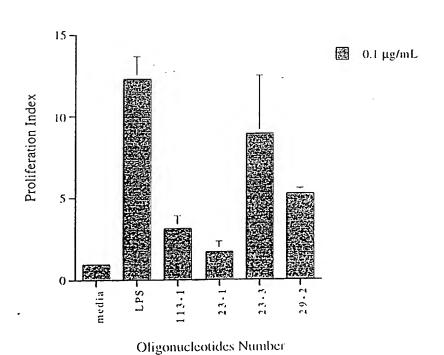


Figure 16 Oligodeoxynucleotide Phosphorothioates and Modification of Linkages

Dligo No.	Sequence & Modification	
113-1	5'-TCCATGACGTTCCTGATGC-3'	~O
23-1	5'-TCCATGXCGTTCCTGATGC-3'	5' O B
23-3	5'-TCCAXGACGTTCCTGATGC-3'	OR
29-2	5'-TYYATGACGGTCCTGATGC-3'	0=/P-0 S }

 $R_X = 2$ '-O-Methylribonucleoside Ry = 2'-O-Methoxyethylribonuclesside

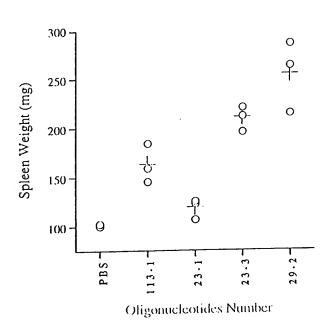


Figure 17 Oligodeoxynucleotide Phosphorothioates and Modification of Linkages

Oligo No.	Sequence & Modification		
1	5'-GAGAACGCTCGACCTT-3'		
2	5'-GAGAACGCTCGACCTT-3' 5'-GAGAA <u>CG</u> CT <u>CG</u> ACCTT-3'		
3	3'-TTCCAGCTCGCAAGAG-5'5'-GAGAACGCTCGACCTT-3'		
4	5'-GAGAACGCTCGACCTT-3' 3'-TTCCAGCTCGCAAGAG-5'		
5	5'-TCTCCCAG <u>CG</u> TG <u>CG</u> CCAT-3'		
6	5'-TCCCAGCGTGCGCCAT-3' 5'-TCCCAGCGTGCGCCAT-3'		
7	3'-TACCGCGTGCGACCCT-5' 5'-TCCCAGCGTGCGCCAT-3'		
8	5'-T C C C A G C G T G C G C C A T-3' 3'-T A C C G C G T G C G A C C C T-5'		
	ميسيد.		
	O 5'—3' O B O 5'—5' O B O O O O O O O O O O O O O O O O O O		

→ 5'-3'- direction of CpG motif

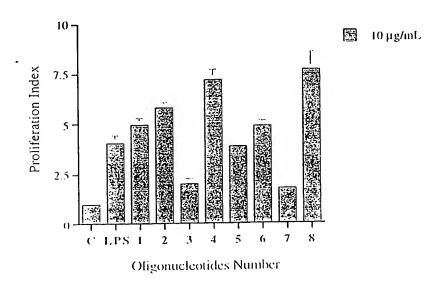


Figure 18 Oligodeoxynucleotide Phosphorothioates and Modification of Linkages

No.	Sequence & Modification	
1-1	5'-CTATCTGACGTTCTCTGT-3'	
5-1	5'-CTATXTGACGTTCTCTGT-3'	0"
5-2	5'-CTATCTGACGTTCXCTGT-3'	$X = {}^{B} \bigvee_{O}$
5-3	5'-CTAXXTGACGTTCTCTGT-3'	0
5-4	5'-CTATCTGACGTTCXXTGT-3'	0=P-0
'5- 5	5'-CTATCTGAXXTTCTCTGT-3'	$S^{(j)}$ $\downarrow 5^{\prime}$ $0 \rightarrow E$
5-6	5'-CTATCTXACGTTCTCTGT-3'	β-L-Deoxynucleoside 3')—/ O_
5-7	5'-CTATCTGACGTXCTCTGT-3'	
75-9	5'-CTATCTGACGTTCTCTGT-3' (all-β-L	-DNA/PS)
75-9	5'-CTATCTGACGTTCTCTGT-3' (all-β-L	-DNA/PS)

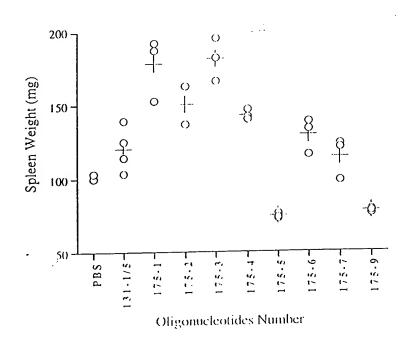


Figure 19 Oligodeoxynucleotide Phosphorothioates and Modification of Linkages

Oligo No.	Sequence & Modification	
		~0
131-1	5'-CTATCTGACGTTCTCTGT-3'	$X = 5' \bigcirc A$
173-1	5'-CTATXTGACGTTCTCTGT-3'	3, /(
173-2	5'-CTATCTGACGTTCTXTGT-3'	O S C CH
		2'-O-Propargyl-ribonucleoside

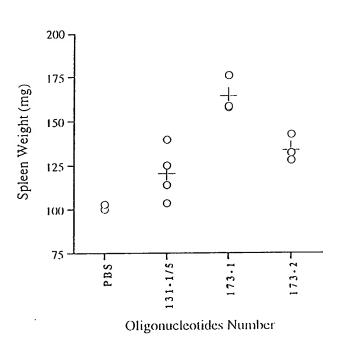


Figure 20 Oligodeoxynucleotide Phosphorothioates and Modification of Linkages

Oligo No.	Sequence & Modification	
133-1	5'-CCTACTAGCGTTCTCATC-3'	
143-1	5'-CCTXXTAGCGTTCTCATC-3'	
143-2	5'-CCTYYTAGCGTTCTCATC-3'	
143-3	5'-CCTZZTAGCGTTCTCATC-3'	
143-4	5'-CCTXXTAGCGTVCTCATC-3'	
143-5	5'-CCTACTAG <u>GC</u> TTCTCATC-3'	
X =1',2'-Dideo Y = C3-Linker Z = 3'-OMe V = 2'-OMe		معمومة.

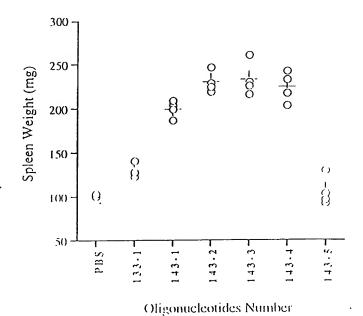


Figure 21 Oligodeoxynucleotide Phosphorothioates and Site of Modification

 Oligo No.	Sequence & Modification
1 5'-	-CTATCTGA <u>CG</u> TTCTCTGT-3' (131-1)
2 5'-	-CTATCTGA <u>CG*</u> TTCTCTGT-3' (E 633L)
3 5'-	-CTATCTGA <u>G*C</u> TTCTCTGT-3' (E 636)
	-TCTCCCAG <u>CG</u> TG <u>CG</u> CCAT-3'
5 5'-	-TCTCCCAG <u>CG*</u> TG <u>CG*</u> CCAT-3' (E 603)
	-CTATXTGA <u>CG*</u> TTCTCTGT-3' (E 639L)
0	
	NH ₂ O O O O
dR G* = 7-deazagu	X = C3- Linker

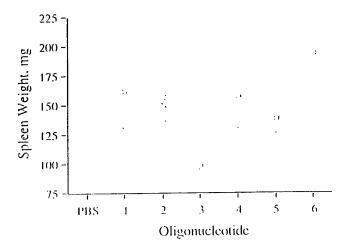


Figure 22 Oligodeoxynucleotide Phosphorothioates and Site of Modification

Oligo No.	Sequence & Modification	
1 2 3	5'-CTATCTGA <u>CG</u> TTCTCTGT-3' (131-1) 5'-CTATCTGA <u>CG*</u> TTCTCTGT-3' (E 682) 5'-CTATCTGA <u>G*C</u> TTCTCTGT-3' (E 683)	$ \begin{array}{c c} S \\ N \\ N \\ N \\ NH_2 \\ dR \\ G^* = 6-\text{thioguanine} \end{array} $

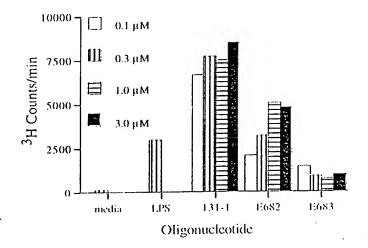


Figure 23 Oligodeoxynucleotide Phosphorothioates and Site of Modification

Oligo No.	Sequence & Modification	
1	5'-CTATCTGA <u>CG</u> TTCTCTGT-3'	NH ₂ NH-CH ₂ -CH ₃
2	5'-CTATCTGA <u>C*G</u> TTCTCTGT-3'	HONN
3	5'-CTATCTGACC*TTCTCTGT-3'	N O NO
4	5'-CTATCTGA <u>C**G</u> TTCTCTGT-3'	dR dR
5	5'-CTATCTGACC**TTCTCTGT-3'	C* = 5-hydroxy-cytosine C** = N4-ethyl-cytosine

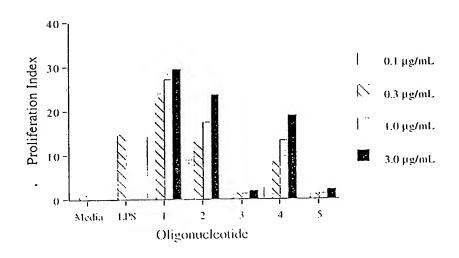


Figure 24 Oligodeoxynucleotide Phosphorothioates and Site of Modification

Oligo No.	Sequence & Modification	
l 2	5'-CTATCTGA <u>CG</u> TTCTCTGT-3' 5'-CTATCTGA <u>C*G</u> TTCTCTGT-3'	NH ₂ NH-CH ₂ -CH ₃ N N
3	5'-CTATCTGA <u>CC*</u> TTCTCTGT-3'	N O N O O O O O O O O O O O O O O O O O
4 5	5'-CTATCTGA <u>C**G</u> TTCTCTGT-3' 5'-CTATCTGA <u>CC**</u> TTCTCTGT-3'	C* = 5-hydroxy-cytosine C** = N4-ethyl-cytosine

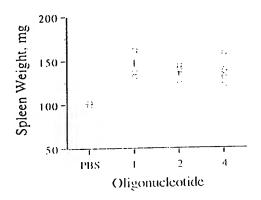


Figure 25 Oligodeoxynucleotide Phosphorothioates and Site of Modification

Oligo No.	Sequence & Modification	
		NH ₂
1	5'-CTATCTGA <u>CG</u> TTCTCTGT-3'	
2	5'-CTATCTGA <u>C*G</u> TTCTCTGT-3'	HO N O
3	5'-CTATCTGA <u>CC*</u> TTCTCTGT-3'	O C* = Ara-C

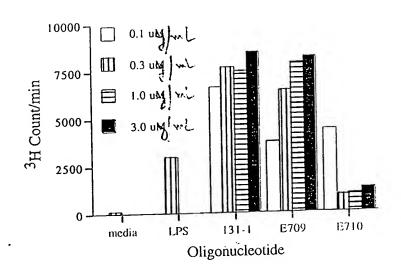


Figure 26 Oligodeoxynucleotide Phosphorothioates and Site of Modification

Oligo No. Sequence & Modification

- 1 5'-CTATCTGACGTTCTCTGT-3' (131-1)
- 2 5'-CTATCTGA<u>C*G</u>TTCTCTGT-3' (E 647)
- 3 5'-CTATXTGA<u>C*G</u>TTCTCTGT-3' (E 653)

S

NH

NH

O

O

O

O

O

C* = 4-Thiouracil

$$X = 1,2$$
-Dideoxyribose

